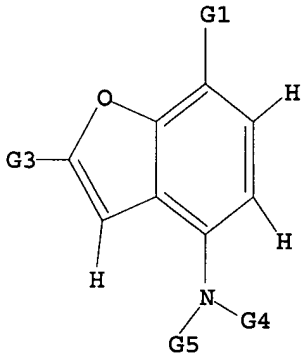
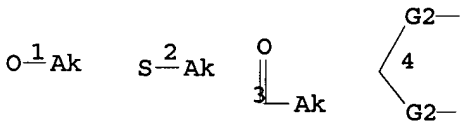
```
=> d his

     (FILE 'HOME' ENTERED AT 15:21:47 ON 01 NOV 2005)

     FILE 'REGISTRY' ENTERED AT 15:21:56 ON 01 NOV 2005
L1                STRUCTURE UPLOADED
L2              2 S L1
L3             18 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 15:22:53 ON 01 NOV 2005
L4              3 S L3

=> d que l4 stat
L1                STR
```





G1 [@1], [@2]

G2 O,S

G3 [@3], [@4]

G4 Ak,[@5], [@6]

G5 H,Cb,Hy

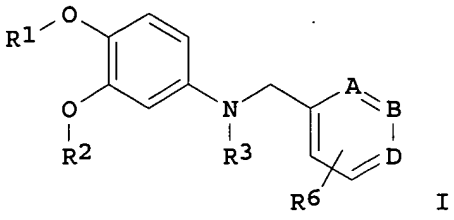
```
Structure attributes must be viewed using STN Express query preparation.
L3             18 SEA FILE=REGISTRY SSS FUL L1
L4              3 SEA FILE=CAPLUS ABB=ON  PLU=ON  L3


=> d 1-3 ibib iabs hitstr
```

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:453188 CAPLUS
DOCUMENT NUMBER: 141:23427
TITLE: Preparation of N-oxides of heteroarylmethyl phenyl amines as phosphodiesterase 4 inhibitors
INVENTOR(S): Schumacher, Richard A.; Graham, Elizabeth Doorly; Hopper, Allen T.; Tehim, Ashok
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

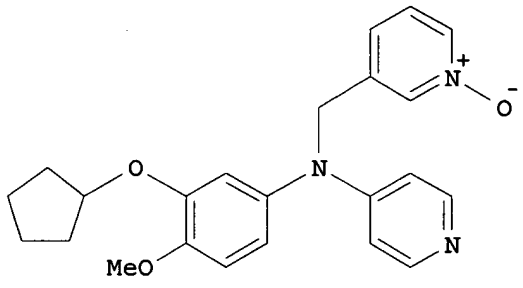
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046113	A2	20040603	WO 2003-US36986	20031119
WO 2004046113	A3	20050324		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2506297	AA	20040603	CA 2003-2506297	20031119
US 2004152902	A1	20040805	US 2003-715819	20031119
BR 2003015705	A	20050906	BR 2003-15705	20031119
EP 1569908	A2	20050907	EP 2003-786857	20031119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-427221P P 20021119
WO 2003-US36986 W 20031119

OTHER SOURCE(S): MARPAT 141:23427
GRAPHIC IMAGE:



I



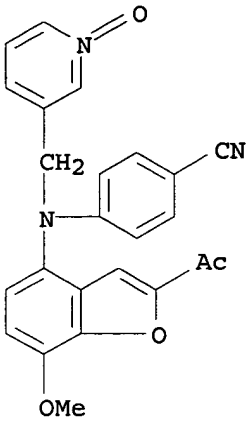
II

ABSTRACT:
Nitrogen oxides of I [one of A, B, D = NO and the others are CR6; R1-2 = alkyl; R3 = H, cycloalkyl, etc.; R6 = H, halo, alkyl, alkoxy, CN, OH] and related derivs. are prepared For instance, 4-[(3-cyclopentyloxy-4-methoxyphenyl)amino]pyridine is alkylated with 3-chloromethylpyridine N-oxide (preparation given) (DMF, NaH) to give II. I are inhibitors of PDE4 and useful for the treatment of depression, Alzheimer's disease, etc.

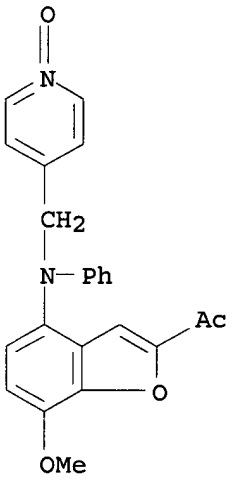
IT **699004-49-4P**, 2-Acetyl-7-methoxy-4-[N-(4-cyanophenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzofuran **699004-50-7P**, 2-Acetyl-7-methoxy-4-[N-phenyl-N-[(1-oxo-4-pyridyl)methyl]amino]benzofuran **699004-51-8P**, 2-Acetyl-7-methoxy-4-[N-(3-carboxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzofuran **699004-53-0P**, 2-Acetyl-7-methoxy-4-[N-(4-acetylphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzofuran
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-oxides of heteroarylmethyl Ph amines as phosphodiesterase 4 inhibitors)

RN 699004-49-4 CAPLUS

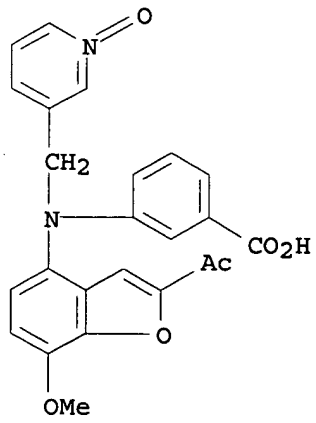
CN Benzonitrile, 4-[(2-acetyl-7-methoxy-4-benzofuranyl)[(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



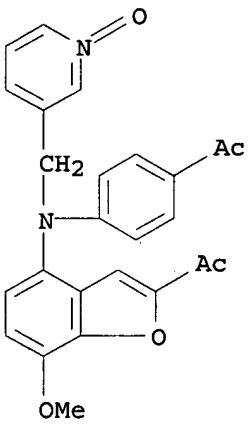
RN 699004-50-7 CAPLUS
CN Ethanone, 1-[7-methoxy-4-[[(1-oxido-4-pyridinyl)methyl]phenylamino]-2-benzofuranyl]- (9CI) (CA INDEX NAME)



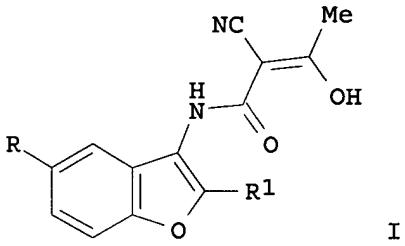
RN 699004-51-8 CAPLUS
CN Benzoic acid, 3-[(2-acetyl-7-methoxy-4-benzofuranyl)[(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 699004-53-0 CAPLUS
CN Ethanone, 1-[4-[(2-acetyl-7-methoxy-4-benzofuranyl)[(1-oxido-3-pyridinyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)



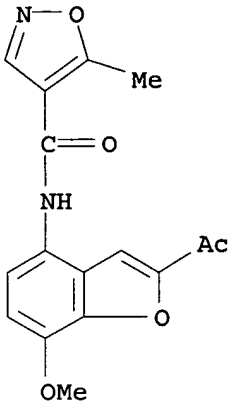
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:231327 CAPLUS
DOCUMENT NUMBER: 140:406691
TITLE: Syntheses of 3-acetoacetylaminobenzo[b]furan derivatives having cysteinyl leukotriene 2 receptor antagonistic activity
AUTHOR(S): Ando, Kumiko; Tsuji, Eriko; Ando, Yuko; Kuwata, Noriko; Kunitomo, Jun-ichi; Yamashita, Masayuki; Ohta, Shunsaku; Kohno, Shigekatsu; Ohishi, Yoshitaka
CORPORATE SOURCE: School of Pharmaceutical Sciences, Mukogawa-Women's University, Nishinomiya, 663-8179, Japan
SOURCE: Organic & Biomolecular Chemistry (2004), 2(4), 625-635
CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GRAPHIC IMAGE:



I

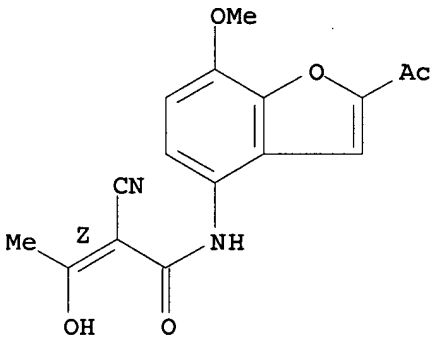
ABSTRACT:
Triene-containing acetoacetylaminobenzo[b]furan derivs. such as I [R = Br, (E)-Et2NC(:O)CH:CMe; R1 = MeCO, EtO2C, 4-NCC6H4] are prepared from 3-aminobenzo[b]furans as cysteinyl leukotriene 1 and 2 receptor antagonists. Hydroxyoxobutenylaminobenzo[b]furans (the enol isomers of 3-acetoacetylaminobenzo[b]furans) are obtained as stable isomers because of intramol. hydrogen bonding. (cyanohydroxyoxobutenylamino)benzo[b]furans I [R = Br, (E)-Et2NC(:O)CH:CMe; R1 = MeCO, EtO2C, 4-NCC6H4] are moderately active inhibitors of agonist-induced calcium release; I show little selectivity between cysteinyl leukotriene 1 and cysteinyl leukotriene 2 receptors. The structures of I [R = Br, (E)-Et2NC(:O)CH:CMe; R1 = MeCO, 4-NCC6H4] are determined by X-ray crystallog.

IT **688757-33-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acetylaminobenzofuran derivs. as cysteine leukotriene 1 and 2 receptor antagonists)
RN 688757-33-7 CAPLUS
CN 4-Isoxazolecarboxamide, N-(2-acetyl-7-methoxy-4-benzofuranyl)-5-methyl- (9CI) (CA INDEX NAME)



IT **688757-35-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of acetylaminobenzofuran derivs. as cysteine leukotriene 1 and 2 receptor antagonists)
RN 688757-35-9 CAPLUS
CN 2-Butenamide, N-(2-acetyl-7-methoxy-4-benzofuranyl)-2-cyano-3-hydroxy-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:80659 CAPLUS APPLICANT
DOCUMENT NUMBER: 140:146131
TITLE: Preparation of 6-amino-1H-indazole and 4-aminobenzofuran derivatives useful as phosphodiesterase 4 inhibitors
INVENTOR(S): Schumacher, Richard A.; Hopper, Allan T.; Tehim, Ashok
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009557	A1	20040129	WO 2003-US22401	20030718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492911	AA	20040129	CA 2003-2492911	20030718
US 2004087584	A1	20040506	US 2003-622117	20030718
EP 1549619	A1	20050706	EP 2003-765684	20030718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013000	A	20050712	BR 2003-13000	20030718
PRIORITY APPLN. INFO.:			US 2002-396726P P	20020719
			WO 2003-US22401 W	20030718

OTHER SOURCE(S): MARPAT 140:146131
GRAPHIC IMAGE:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

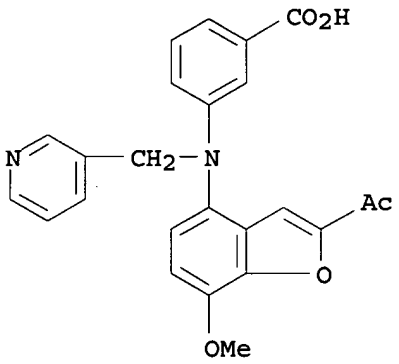
ABSTRACT:
The invention refers to new aminoindazole and aminobenzofuran derivs. of formula I and II [wherein: R1 = H, (un)substituted (cyclo/hetero)alkyl; R2 = H, (un)substituted alkyl; R3 = H, (un)substituted alkyl, arylalkyl, etc.; R4 = H, (un)substituted (hetero)aryl; R5 = (halo)alkoxy, (halo)alkylthio; R6 = (un)substituted -C(O)-alkyl, etc.] useful as phosphodiesterase 4 (PDE4) inhibitors. In vitro measurements of human type 4 phosphodiesterase inhibition activity and in vivo tests for learning and memory (passive avoidance in rats and radial arm maze task in rats) were performed for compds. I and II. Compds. I and II are claimed to be useful for treatment of patients suffering from memory impairment due to Alzheimer's diseases, schizophrenia, Parkinson's disease, etc. For instance, indazole III (example 4) was prepared from 3-pyridinecarboxaldehyde and aminoindazole IV via reductive amination, amination of 3-IC6H4CO2t-Bu by resultant amine V, and hydrolysis.

IT **652158-95-7P**, 2-Acetyl-7-methoxy-4-[N-(3-carboxyphenyl)-N-(3-pyridylmethyl)amino]benzofuran
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aminoindazole and aminobenzofuran derivs. useful as phosphodiesterase 4 enzyme inhibitors)

RN 652158-95-7 CAPLUS

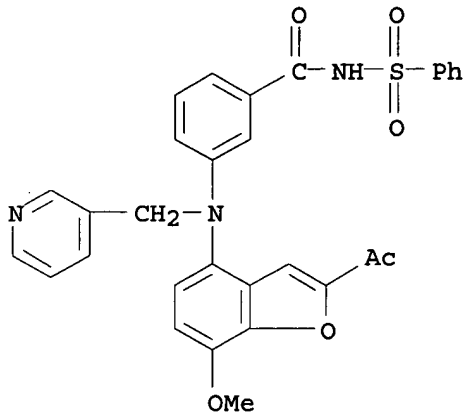
CN Benzoic acid, 3-[(2-acetyl-7-methoxy-4-benzofuranyl)(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



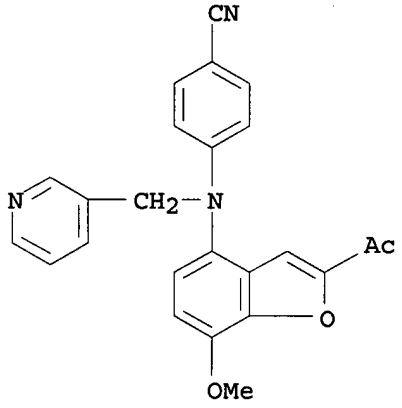
IT **652158-97-9P 652159-10-9P**, 2-Acetyl-7-methoxy-4-[N-(4-cyanophenyl)-N-(3-pyridylmethyl)amino]benzofuran **652159-11-0P**, 2-Acetyl-7-methoxy-4-[N-phenyl-N-(4-pyridylmethyl)amino]benzofuran **652159-14-3P**, 2-Acetyl-7-methoxy-4-[N-(3-cyanophenyl)-N-(3-pyridylmethyl)amino]benzofuran **652159-15-4P**, 2-Acetyl-7-methoxy-4-[N-phenyl-N-(3-pyridylmethyl)amino]benzofuran **652159-16-5P**, 2-Acetyl-7-methoxy-4-[N-(3-cyanophenyl)-N-(4-pyridylmethyl)amino]benzofuran **652159-17-6P**, 2-Acetyl-7-methoxy-4-[N-(4-acetylphenyl)-N-(3-pyridylmethyl)amino]benzofuran **652159-18-7P**, 2-Acetyl-7-methoxy-4-[N-(4-carboxyphenyl)-N-(3-pyridylmethyl)amino]benzofuran **652159-19-8P**, 2-Acetyl-7-methoxy-4-[N-[4-(2H-tetrazol-5-yl)phenyl]-N-(3-pyridylmethyl)amino]benzofuran **652159-20-1P**, 2-Acetyl-7-methoxy-4-[N-(4-carboxy-3-chlorophenyl)-N-(3-pyridylmethyl)amino]benzofuran **652159-21-2P**, 2-Acetyl-7-methoxy-4-[N-(3-carboxy-5-fluorophenyl)-N-(3-pyridylmethyl)amino]benzofuran
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoindazole and aminobenzofuran derivs. useful as phosphodiesterase 4 enzyme inhibitors)

RN 652158-97-9 CAPLUS

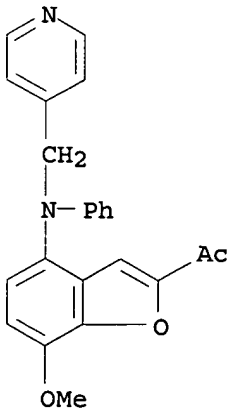
CN Benzamide, 3-[(2-acetyl-7-methoxy-4-benzofuranyl)(3-pyridinylmethyl)amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 652159-10-9 CAPLUS
CN Benzonitrile, 4-[(2-acetyl-7-methoxy-4-benzofuranyl)(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

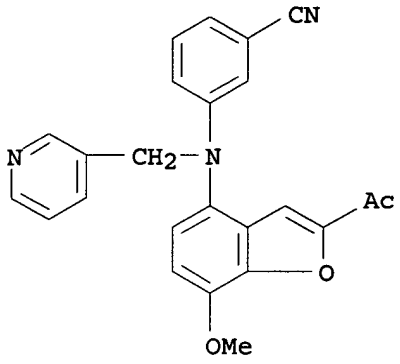


RN 652159-11-0 CAPLUS
CN Ethanone, 1-[7-methoxy-4-[phenyl(4-pyridinylmethyl)amino]-2-benzofuranyl]- (9CI) (CA INDEX NAME)

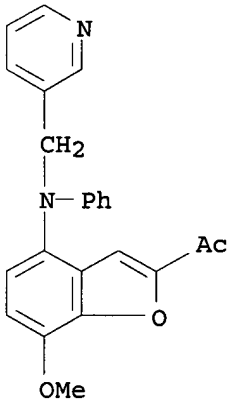


RN 652159-14-3 CAPLUS
CN Benzonitrile, 3-[(2-acetyl-7-methoxy-4-benzofuranyl)(3-

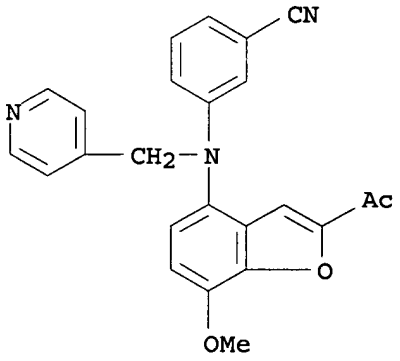
pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



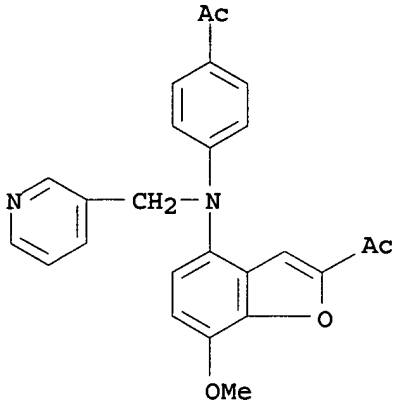
RN 652159-15-4 CAPLUS
CN Ethanone, 1-[7-methoxy-4-[phenyl(3-pyridinylmethyl)amino]-2-benzofuranyl]- (9CI) (CA INDEX NAME)



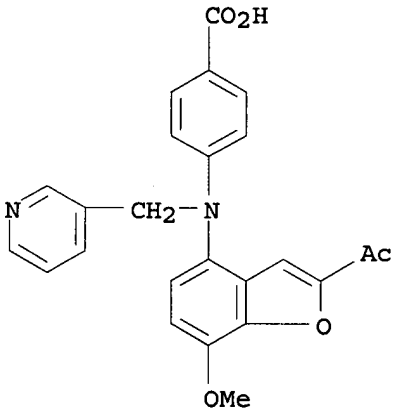
RN 652159-16-5 CAPLUS
CN Benzonitrile, 3-[(2-acetyl-7-methoxy-4-benzofuranyl)(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



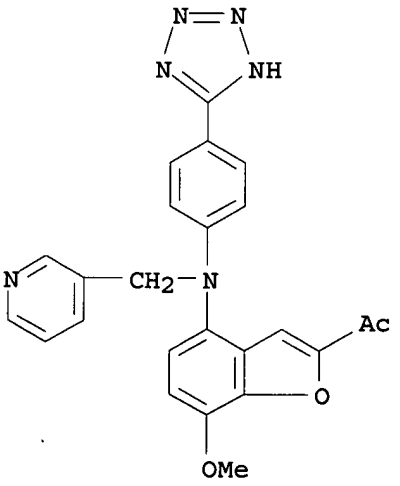
RN 652159-17-6 CAPLUS
CN Ethanone, 1-[4-[(2-acetyl-7-methoxy-4-benzofuranyl)(3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



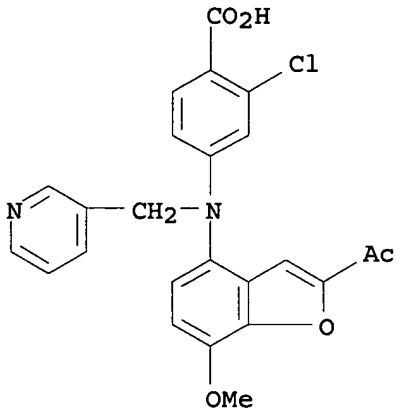
RN 652159-18-7 CAPLUS
CN Benzoic acid, 4-[(2-acetyl-7-methoxy-4-benzofuranyl)(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



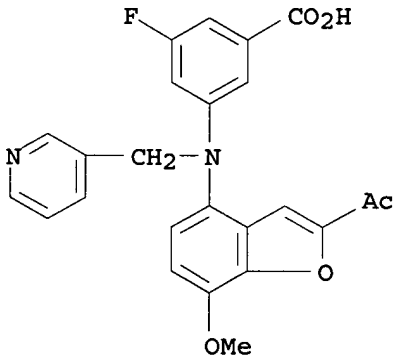
RN 652159-19-8 CAPLUS
CN Ethanone, 1-[7-methoxy-4-[(3-pyridinylmethyl)[4-(1H-tetrazol-5-yl)phenyl]amino]-2-benzofuranyl]- (9CI) (CA INDEX NAME)



RN 652159-20-1 CAPLUS
CN Benzoic acid, 4-[(2-acetyl-7-methoxy-4-benzofuranyl)(3-pyridinylmethyl)amino]-2-chloro- (9CI) (CA INDEX NAME)



RN 652159-21-2 CAPLUS
CN Benzoic acid, 3-[(2-acetyl-7-methoxy-4-benzofuranyl)(3-pyridinylmethyl)amino]-5-fluoro- (9CI) (CA INDEX NAME)



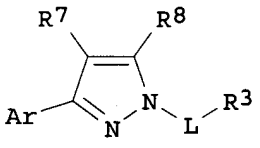
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> => d que l9 sta
L5            11 SEA FILE=CAPLUS ABB=ON  PLU=ON  "SCHUMACHER RICHARD A"/AU
L6            35 SEA FILE=CAPLUS ABB=ON  PLU=ON  ("HOPPER ALLEN"/AU OR "HOPPER
                 ALLEN T"/AU OR "HOPPER ALLEN TAYLOR"/AU)
L7            51 SEA FILE=CAPLUS ABB=ON  PLU=ON  ("TEHIM ASHOK"/AU OR "TEHIM
                 ASHOK K"/AU OR "TEHIM ASHOK KUMAR"/AU)
L8            81 SEA FILE=CAPLUS ABB=ON  PLU=ON  L5 OR L6 OR L7
L9             5 SEA FILE=CAPLUS ABB=ON  PLU=ON  L8 AND (?BENZOFURAN OR
                 BENZOFURAN)

=> d 1-5 bib abs
```

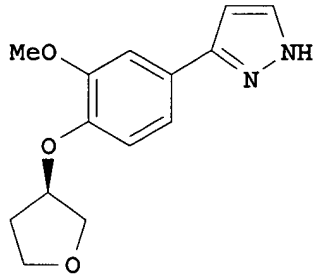
L9 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:927199 CAPLUS
DN 141:379922
TI Preparation of pyrazole derivatives as selective phosphodiesterase 4 inhibitors
IN **Hopper, Allen**; Kuester, Erik; Dunn, Robert; Conticello, Richard
PA Memory Pharmaceuticals Corporation, USA
SO PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004094411	A1	20041104	WO 2004-US11899	20040416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004229918	A1	20041118	US 2004-825611	20040416
PRAI US 2003-463725P	P	20030418		

OS MARPAT 141:379922
GI



I



II

AB Title (hetero)aryl pyrazole compds. I [wherein Ar = substituted Ph, pyridinyl, benzofuranyl, benzopyrazolyl, pyrazolo[4,3-b]pyridinyl; L = bond, (CH2)nCONH, (CH2)nCON(alkyl), (CH2)nNHCO, (CH2)nCONHSO2, (CH2)nSO2NH, (CH2)nSO2, (CH2)nCO2, (un)substituted alkylene optionally interrupted by O, NH, S; n = 0-3; R3 = H, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, heterocyclyl; R7, R8 = independently H, halo, (un)substituted alkyl, alkenyl, alkynyl; and pharmaceutically acceptable salts thereof] were prepared The invention compds. exhibited improved phosphodiesterase 4 (PDE4) inhibition as compared to compds. such as rolipram and showed selectivity with regard to inhibition of other classes of PDEs. For example, 3-hydroxy-4-methoxybenzaldehyde was condensed with (S)-3-hydroxytetrahydrofuran using PPh3 and DIAD in THF to give (R)-4-methoxy-3-[(tetrahydrofuran-3-yl)oxy]benzaldehyde (66%). Reaction of the aldehyde with diethoxyphosphorylacetaldehyde tosylhydrazone in the presence of NaH in THF provided the desired pyrazole II (57%). Compds. of the invention blocked the human PDE4 mediated conversion of cAMP to adenosine with IC50 values ranging from 10 nM to 5000 nM. Thus, I and their pharmaceutical compns. are useful for enhancing cognition and

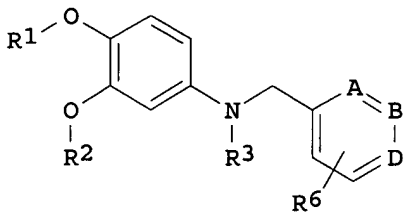
treating psychosis, allergic conditions, or inflammatory disease (no data).

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

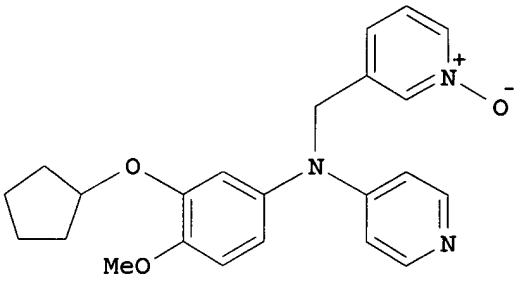
L9 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:453188 CAPLUS
DN 141:23427
TI Preparation of N-oxides of heteroarylmethyl phenyl amines as phosphodiesterase 4 inhibitors
IN **Schumacher, Richard A.**; Graham, Elizabeth Doorly; **Hopper, Allen T.**; **Tehim, Ashok**
PA Memory Pharmaceuticals Corporation, USA
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004046113	A2	20040603	WO 2003-US36986	20031119
	WO 2004046113	A3	20050324		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2506297	AA	20040603	CA 2003-2506297	20031119
	US 2004152902	A1	20040805	US 2003-715819	20031119
	BR 2003015705	A	20050906	BR 2003-15705	20031119
	EP 1569908	A2	20050907	EP 2003-786857	20031119
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-427221P	P	20021119		
	WO 2003-US36986	W	20031119		

OS MARPAT 141:23427
GI



I



II

AB Nitrogen oxides of I [one of A, B, D = NO and the others are CR6; R1-2 = alkyl; R3 = H, cycloalkyl, etc.; R6 = H, halo, alkyl, alkoxy, CN, OH] and related derivs. are prepared For instance, 4-[(3-cyclopentyloxy-4-methoxyphenyl)amino]pyridine is alkylated with 3-chloromethylpyridine N-oxide (preparation given) (DMF, NaH) to give II. I are inhibitors of PDE4 and useful for the treatment of depression, Alzheimer's disease, etc.

L9 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:80659 CAPLUS
DN 140:146131
TI Preparation of 6-amino-1H-indazole and 4-**aminobenzofuran** derivatives useful as phosphodiesterase 4 inhibitors
IN **Schumacher, Richard A.**; Hopper, Allan T.; **Tehim, Ashok**
PA Memory Pharmaceuticals Corporation, USA
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004009557	A1	20040129	WO 2003-US22401	20030718
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2492911	AA	20040129	CA 2003-2492911	20030718
	US 2004087584	A1	20040506	US 2003-622117	20030718
	EP 1549619	A1	20050706	EP 2003-765684	20030718
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013000	A	20050712	BR 2003-13000	20030718
PRAI	US 2002-396726P	P	20020719		
	WO 2003-US22401	W	20030718		

OS MARPAT 140:146131
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

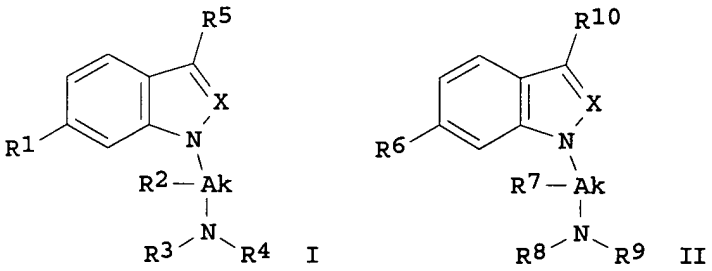
AB The invention refers to new aminoindazole and **aminobenzofuran** derivs. of formula I and II [wherein: R1 = H, (un)substituted (cyclo/hetero)alkyl; R2 = H, (un)substituted alkyl; R3 = H, (un)substituted alkyl, arylalkyl, etc.; R4 = H, (un)substituted (hetero)aryl; R5 = (halo)alkoxy, (halo)alkylthio; R6 = (un)substituted -C(O)-alkyl, etc.] useful as phosphodiesterase 4 (PDE4) inhibitors. In vitro measurements of human type 4 phosphodiesterase inhibition activity and in vivo tests for learning and memory (passive avoidance in rats and radial arm maze task in rats) were performed for compds. I and II. Compds. I and II are claimed to be useful for treatment of patients suffering from memory impairment due to Alzheimer's diseases, schizophrenia, Parkinson's disease, etc. For instance, indazole III (example 4) was prepared from 3-pyridinecarboxaldehyde and aminoindazole IV via reductive amination, amination of 3-IC6H4CO2t-Bu by resultant amine V, and hydrolysis.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:456878 CAPLUS
DN 133:89522
TI Preparation of indole and indolizidine derivatives for the treatment of migraine
IN Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Maddaford, Shawn; Slassi, Abdelmalik; **Tehim, Ashok**; Xin, Tao
PA Allelix Biopharmaceuticals Inc., Can.
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000038677	A1	20000706	WO 1999-CA1241	19991222
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2356638	AA	20000706	CA 1999-2356638	19991222
	EP 1140074	A1	20011010	EP 1999-962019	19991222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6380242	B1	20020430	US 1999-469327	19991222
	JP 2002533391	T2	20021008	JP 2000-590631	19991222
	AU 779073	B2	20050106	AU 2000-18528	19991222
	US 2002169322	A1	20021114	US 2002-73130	20020213
	US 6635639	B2	20031021		
PRAI	US 1998-113932P	P	19981223		
	US 1999-469327	A3	19991222		
	WO 1999-CA1241	W	19991222		

OS MARPAT 133:89522
GI



AB The title compds. [I; X = N, CH; R1 = (un)substituted (un)saturated 5-7 membered monocyclic or benzo-fused heterocyclic ring; Ak = alkylene chain which may be substituted with R2 (wherein R2 = alkyl); R3, R4 = H, alkyl, alkenyl, etc.; or one pair of R2 and R3 or R3 and R4 together may form an alkylene or alkenylene bridge which, with the nitrogen atom, form (un)substituted 3-7 membered ring; R5 = H, alkyl, (un)saturated 4-7 membered carbocyclic or heterocyclic group], useful for the treatment of migraine,

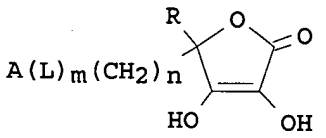
were prepared and formulated. E.g., a multi-step synthesis of indole I [X = CH; R1 = tetrahydropyran-4-yl; Ak = (CH2)2; R3, R4 = Me; R5 = H] which showed inhibition of > 90% at the 5-HT1D receptor, was given. Also disclosed are novel compds. II [X = N, CH; R6 = (un)substituted (un)saturated 5-7 membered monocyclic or benzo-fused heterocyclic ring; Ak = alkylene chain which may be substituted with R7 (wherein R7 = alkyl); R8, R9 = H, alkyl, alkenyl, etc.; R10 = H, alkyl, (un)saturated 4-7 membered carbocyclic or heterocyclic group].

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

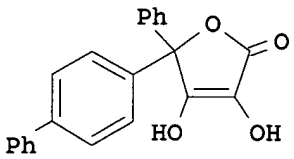
L9 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:147322 CAPLUS
DN 128:204734
TI Preparation of 5-substituted and 5,5-disubstituted-3,4-dihydroxy-2(5H)-furanones as anti-inflammatory agents
IN **Hopper, Allen T.**; Ziemniak, John A.; Johnson, Robert E.
PA Oxis International, Inc., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9807714	A1	19980226	WO 1997-US14878	19970822
	W: AU, CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6005000	A	19991221	US 1997-915099	19970820
	CA 2264000	AA	19980226	CA 1997-2264000	19970822
	AU 9740854	A1	19980306	AU 1997-40854	19970822
	AU 722953	B2	20000817		
	EP 938482	A1	19990901	EP 1997-938556	19970822
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002515879	T2	20020528	JP 1998-511033	19970822
	US 6136832	A	20001024	US 1999-314832	19990519
	US 6262073	B1	20010717	US 2000-587038	20000602
PRAI	US 1996-24440P	P	19960822		
	US 1996-24586P	P	19960822		
	US 1997-915099	A	19970820		
	WO 1997-US14878	W	19970822		
	US 1999-314832	A1	19990519		

OS MARPAT 128:204734
GI



I



II

AB The present invention relates to the production of both optically active and racemic furanones I [R = H, Ph, alkyl; L = O, S, N, C.tplbond.C, (E)-CH:CH, (Z)-CH:CH, CO2, CO3, NHCONH, NHCO2; m = 0, 1; n = 0 - 4; A = (un)substituted aryl; when R = H, m or n is not 0] useful as anti-inflammatory agents. Furanone II was prepared by treatment of Et (4-phenylbenzoyl)formate with PhMgBr followed sequentially by PhCH2OCH2COCl, LDA, and hydrogenolysis of the protected furanone. II is a mixed inhibitor of lipid peroxidn. (73% at 300 μM), 5-lipoxygenase (102% at 30 μM), cyclooxygenase-1 (52% at 300 μM) and cyclooxygenase-2 (34% at 300 μM).

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> d his full

     (FILE 'HOME' ENTERED AT 15:21:47 ON 01 NOV 2005)

     FILE 'REGISTRY' ENTERED AT 15:21:56 ON 01 NOV 2005
L1              STRUCTURE UPLOADED
                D
L2            2 SEA SSS SAM L1
                D SCAN
L3           18 SEA SSS FUL L1

     FILE 'CAPLUS' ENTERED AT 15:22:53 ON 01 NOV 2005
L4            3 SEA ABB=ON  PLU=ON  L3
                D QUE L4 STAT
                D 1-3 IBIB IABS HITSTR
                E SCHUMACHER RICHARD/AU
L5           11 SEA ABB=ON  PLU=ON  "SCHUMACHER RICHARD A"/AU
                E HOPPER ALLEN/AU
L6           35 SEA ABB=ON  PLU=ON  ("HOPPER ALLEN"/AU OR "HOPPER ALLEN T"/AU
                OR "HOPPER ALLEN TAYLOR"/AU)
                E TEHIM ASHOK/AU
L7           51 SEA ABB=ON  PLU=ON  ("TEHIM ASHOK"/AU OR "TEHIM ASHOK K"/AU OR
                "TEHIM ASHOK KUMAR"/AU)
L8           81 SEA ABB=ON  PLU=ON  L5 OR L6 OR L7
L9            5 SEA ABB=ON  PLU=ON  L8 AND (?BENZOFURAN OR BENZOFURAN)
                D QUE L9 STA
                D 1-5 BIB ABS


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   31 OCT 2005  HIGHEST RN 866452-21-3
     DICTIONARY FILE UPDATES:  31 OCT 2005  HIGHEST RN 866452-21-3

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     ************************************************************
     *                                                          *
     * The CA roles and document type information have been removed from *
     * the IDE default display format and the ED field has been added,   *
     * effective March 20, 2005.  A new display format, IDERL, is now    *
     * available and contains the CA role and document type information. *
     *                                                          *
     ************************************************************

     Structure search iteration limits have been increased.  See HELP SLIMITS
     for details.

     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:

     http://www.cas.org/ONLINE/UG/regprops.html
```

FILE CAPLUS

FILE COVERS 1907 - 1 Nov 2005 VOL 143 ISS 19
FILE LAST UPDATED: 31 Oct 2005 (20051031/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=>